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(54) PRODUIT POUR LE SOIN DE LA PEAU
(54) SKIN CARE PRODUCT

(57)

The invention relates to cosmetic compounds designed to care for sensitive skin, taking the form of emulsions or creams. Said compounds contain natural oils, fats, waxes and esters of linear C8-C22 fatty acids as oil or fat components, phospholipids, sterols, alkyl-(oligo-)glycosides, fatty acid esters of sugars, sugar alcohols or polyglycerin or mixtures thereof as emulsifiers, and deoxysugars or natural substances containing the same as active ingredients. As deoxysugars, the compounds preferably contain fucose, rhamnose or mixtures thereof at a concentration of between 0.1 and 10 weight percent. The cosmetic compounds prepared according to the invention increase the vitality of the skin and significantly reduce the release of pro- inflammatory mediators.

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(54) **SKIN CARE PRODUCT**

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(54) Title: SKIN CARE PRODUCT

(54) Bezeichnung: HAUTPFLEGEMITTEL

(57) Abstract

The invention relates to cosmetic compounds designed to care for sensitive skin, taking the form of emulsions or creams. Said compounds contain natural oils, fats, waxes and esters of linear $C_8$–$C_{22}$ fatty acids as oil or fat components, phospholipids, sterols, alkyl–(oligo–)glycosides, fatty acid esters of sugars, sugar alcohols or polyglycerin or mixtures thereof as emulsifiers, and deoxysugars or natural substances containing the same as active ingredients. As deoxysugars, the compounds preferably contain fucose, rhamnose or mixtures thereof at a concentration of between 0.1 and 10 weight percent. The cosmetic compounds prepared according to the invention increase the vitality of the skin and significantly reduce the release of pro–inflammatory mediators.

(57) Zusammenfassung

Kosmetische Zusammensetzungen zur Pflege empfindlicher Haut in Form von Emulsionen oder Cremes enthalten als Öl– oder Fettkomponenten natürliche Öle, Fette, Wachse, Ester von linearen $C_8$–$C_{22}$–Fettsäuren, als Emulgatoren Phospholipide, Sterine, Alkyl–(oligo)–glycoside, Fettsäureester von Zuckern, Zuckeralkoholen oder Polyglycerin oder Gemische davon und als Wirkstoffe Desoxyzucker oder diese enthaltende Naturstoffe. Bevorzugt sind als Desoxyzucker Fucose, Rhamnose oder Gemische davon in einer Menge von 0,1 – 10 Gew.–% enthalten. Durch die erfindungsgemäßen Zusammensetzungen wird die Vitalität der Haut gesteigert und die Ausschüttung von proinflammatorischen Mediatoren signifikant reduziert.

# Skin Care Product

This invention relates to cosmetic and dermatological compositions for the care of sensitive skin in the form of an emulsion or cream which, through the presence of specially selected fatty components, emulsifiers and active ingredients, not only have a negligible irritating effect on the skin, but also increase the vitality of the skin tissue.

The cosmetic care of sensitive skin is acquiring increasing significance because, today, more than 50% of consumers regard their skin as sensitive. Although the notion of sensitive skin has not been clearly defined in the cosmetic literature, there are tests which enable the irritation potential of skin treatment compositions to be tested extremely accurately and which provide information on positive effects, for example on the effect on the cell metabolism of the skin.

Polysaccharides, sugars and glycoproteins are known in the cosmetics field as skin-moisturizing components. The anti-allergic effects of an addition of L-α-fucose, L-rhamnose and L-xylose to cosmetic and dermatological compositions was already known from **FR-A-2 652 742**.

However, it has been found that the effect of such compositions on the skin can be considerably improved if the carrier components, i.e. the oil and fatty components and emulsifiers in the case of emulsions and creams, are further optimized in regard to their irritation potential.

Accordingly, the present invention relates to improved compositions for the care of sensitive skin in the form of an emulsion or cream which contain natural oils and fats or waxes or esters of linear $C_{8-22}$ fatty acids as oil or fatty components and phospholipids, sterols, alkyl (oligo)glycosides, fatty acid esters of sugars, sugar alcohols or polyglycerol or mixtures thereof as emulsifiers and deoxy sugars or natural substances containing such sugars as active ingredients.

In the context of the present invention, deoxy sugars are preferably

L(-) fucose and L(+) rhamnose. However, natural substances containing such deoxy sugar units, for example polysaccharides or vegetable glycosides, are also suitable. Fucose occurs, for example, as a polysaccharide unit in a polysaccharide gel isolated from brown algae (fucus vesiculosus). Rhamnose is a polysaccharide unit of arabic acid in gum arabic. The composition according to the invention preferably contains fucose, rhamnose or a mixture thereof in quantities of 0.1 to 10% by weight.

Suitable carriers for these active ingredients are emulsions or creams which are capable of applying the active ingredients to the skin in fine distribution without any skin-irritating side effects. It has surprisingly been found that typical hydrocarbons, for example paraffin oils, Vaseline (petrolatum) or silicones, are not as suitable for this purpose and, accordingly, should only be present in the compositions according to the invention in small quantities.

Instead, the oil or fatty phase should mainly consist of natural oils, fats and waxes or of esters of linear $C_{8-22}$ fatty acids. Examples of such suitable oils and fats include olive oil, sunflower oil, refined soybean oil, palm oil, rapeseed oil, sesame oil, almond oil, borage oil, night light oil, jojoba oil, coconut oil, shea butter, sperm oil, neat's foot oil, beef tallow and lard. Also suitable are esters of linear $C_{8-22}$ fatty acids, for example oleyl oleate, oleyl erucate, hexyl laurate, caprylic and capric acid triglyceride, isopropyl palmitate or butyl stearate. Oils with a high percentage content of gamma-linolenic acid (6,9,12-octadecatriene carboxylic acid) are preferably present in a quantity of 10 to 50% by weight of the oil phase.

In one particularly preferred embodiment, the compositions according to the invention contain shea butter from the seed of the plant Butyrospermum parkii, almond oil, night light oil or a caprylic and capric acid triglyceride or mixtures of these fats in a quantity of 10 to 30% by weight, based on the composition as a whole, as the oil or fatty component.

By contrast, the oil or fatty phase should not contain any more than 5% by weight of hydrocarbons or silicone oils.

Phospholipids suitable as emulsifiers are, above all, glucose phospholipids which are obtained, for example, as lecithins or phosphatidyl cholines, for example from egg yolk or plant seeds (for example soya beans).

Sterols are understood to be a group of steroids which carry a hydroxyl group at carbon atom 3 of the steroid skeleton and which are isolated both from animal tissue (zoosterols) and from vegetable fats (phytosterols). Examples of zoosterols are cholesterol and lanosterol. Examples of suitable phytosterols are ergosterol, stigmasterol and sitosterol. Sterols are also isolated from fungi and yeasts (so-called mycosterols).

Alkyl (oligo)glycosides are compounds with the general formula $RO(G)_x$, in which R is a linear alkyl group containing 8 to 18 carbon atoms, G is a glycoside unit, for example the glucoside unit derived from glucose or a mannoside or fructoside unit derived, or example, from mannose or fructose, and x - the degree of oligomerization – preferably has a value between 1 and 2. Alkyl glycosides such as these are commercially available, for example, under the registered names of Plantaren® or Plantacare®. Mixtures of alkyl (oligo)glucosides and fatty alcohols are marketed, for example, under the names of Montanov® 68 or Emulgade® PL 68/50.

Fatty acid esters of sugars, sugar alcohols, such as sorbitol, and polyglycerols are also known and commercially available emulsifiers.

The compositions according to the invention preferably contain no more than 1% by weight, if any, of sulfate or sulfonate surfactants, ethylene oxide or propylene oxide adducts and quaternary ammonium surfactants.

Besides the oil and fatty components and the emulsifiers, the oil and fatty phase may contain further lipids which help to stabilize the

compositions and to care for the skin. Suitable lipids are, for example, tocopherols (vitamin E) and ceramides. Ceramides are understood to be N-acyl sphingosine (fatty acid amides of sphingosine) and synthetic analogs of such lipids (so-called pseudoceramides) which distinctly improve the water retaining capacity of the stratum corneum.

Finally, the compositions according to the invention may contain any of the auxiliaries and additives typically present in such compositions which are known to improve their aesthetic, performance and cosmetic properties. Examples of such auxiliaries and additives include natural or synthetic hydrocolloids, for example cellulose derivatives, vegetable gums, gelatin, biopolymers or even synthetic water-soluble polymers for thickening the aqueous phase, layer silicates, soaps, for example calcium, magnesium or zinc soaps for thickening the oil phase, perfumes, dyes, pigments, preservatives, complexing agents, polyols such as, for example, propylene glycol, dipropylene glycol, sorbitol or glycerol and cosmetic ingredients such as, for example, allantoin, bisabolol, extracts from vegetable or animal tissue or from microorganisms (for example yeast extracts), vitamins or proteins.

The aqueous phase preferably contains a natural or synthetic hydrocolloid in a quantity of 0.01 to 5% by weight, based on the composition as a whole.

The cosmetic compositions according to the invention are prepared in the usual way by heating the lipid-soluble ingredients with the oil or fatty phase to form a clear melt and, after homogenization, dissolving the water-soluble ingredients in the water and emulsifying the heated aqueous phase while stirring into the fatty phase. The aqueous phase may be emulsified into the fatty phase with the aid of homogenizers. The deoxy sugar is incorporated either in the oil phase or in the final emulsion. Perfumes and heat-sensitive ingredients or plant extracts are also preferably incorporated in the emulsion in a subsequent step.

The following Examples are intended to illustrate the invention.

**Examples**

**1.1 Testing of dermatological compatibility by the double application prolonged patch test (DAPPT)**

The test was carried out and the results evaluated on the basis of the known Duhring Chamber Test (cf. I. **Tausch et al., Paerfuemerie und Kosmetik, 76 (1/96), pages 28-31**). However, in order to enable readily compatible products to be more clearly differentiated, the samples fixed to the back of 20 volunteers by means of aluminium chambers in the DAPPT were tested over a first exposure period of 48 hours and immediately afterwards over a second exposure period of 72 hours. The change in the skin caused by the samples was then visually evaluated over a period of another 72 hours. Distinctions were made between erythema, squamation, oedema and fissures.

From the data of all the volunteers over all the read-off times, average values were calculated out both for each parameter individually and also for the sum total of the parameters (total irritation score).

## 1.2 Skin care formulations tested

**Table I**

| Ingredients | 1V | 2V | 3 | 4 | 5 |
|---|---|---|---|---|---|
| Lipoid S-75 | 3.0 | - | - | 3.0 | - |
| Montanov®68 | - | 5.0 | 5.0 | - | - |
| Generol® 122N | - | 0.5 | 0.5 | - | 1.0 |
| Ceramide $HO_3$ | - | 0.2 | 0.2 | - | - |
| Beeswax | 1.5 | - | - | 1.5 | - |
| Cetiol SB 45 | 9.0 | 1.0 | 1.0 | 9.0 | 3.0 |
| Cetiol J 600 | 5.0 | - | - | 5.0 | - |
| Myritol 318 | - | 4.0 | 4.0 | - | - |
| Cetiol SN | - | 5.0 | 5.0 | - | - |
| Almond oil | 5.0 | 2.0 | 2.0 | 5.0 | - |
| Night light oil | - | 5.0 | 5.0 | - | 5.0 |
| Rhamnose | - | - | 1.5 | 1.5 | 1.5 |
| Fucogel 1000 | - | - | 2.5 | 2.5 | 2.5 |
| Tufskin | - | - | 1.5 | 1.5 | 1.5 |
| Bisbolol | - | - | 0.1 | 0.1 | 0.1 |
| Allantoin | - | - | 0.2 | 0.2 | 0.2 |
| Glycerol | 5.0 | - | - | 5.0 | - |
| Dipropylene glycol | - | 5.0 | 5.0 | - | - |
| Carbopol 980 | - | 0.005 | 0.005 | - | - |
| Carrageenin | 2.0 | - | - | 2.0 | - |
| Water, preservative | to 100 | to 100 | to 100 | to 100 | |
| Compatibility parameters | | | | | |
| Erythema score | 0 | 0.17 | 0.06 | 0 | n.b. |
| Total irritation score | 0.11 | 0.44 | 0.06 | 0 | n.b. |

In the case of low-compatibility skin creams which achieved an erythema score of 1 to 2 and a total irritation score of 4 to 5 in the test, compatibility was improved by 85% by adding an active-ingredient complex according to formulation 5 (in which the balance to 100% by weight consisted of the comparison cream).

The following commercial products were used (by way of explanation, the INCI nomenclature is used where possible):

| | |
|---|---|
| Lipoid S-75: | Hydrogenated Lecithin |
| Montanov 68: | Cetearyl Glucoside, cetearyl alcohol |
| Generol 122N: | Soy Sterol |
| Ceramide $HO_3$: | Trihydroxy-Palmitamidohydroxypropyl-Myristyl-Ether |
| Cetiol SB 45: | Buytospermum parkii (shea butter) |
| Cetiol J 600: | Oleyl Erucate |
| Myritol 318: | Capryl/Capric Triglycerides |
| Cetiol SN: | Cetearyl Isononanoate |
| Tufskin: | Sorbitol and Yeast Extract |
| Fucogel 1000: | Biosaccharide Gum (rich in fucose) |
| Carbopol 980: | Carbomer (polyacrylic acid, crosslinked). |

**2. Testing of the increase in vitality using a human skin model**

A three-dimensional skin model was used for these tests. It consists of a culture of human skin cells (**Skin$^2$ from Advanced Tissue Sciences, La Jolla, Ca., USA**, cf. **Alternative Methods in Toxicology, Vol. 10, B III-4, pages 121-131**).

The creams to be tested were applied to a filter paper which was then placed with the cream-coated side on the surface of the skin culture for 2 hours so that the creams could act.

The vitality of the skin cultures was tested using the vital dye Alamarblau. Living cells reduce the dye molecule, causing a change in

color of which the intensity was photometrically measured. The more vital the cultures, the higher the reduction rate. The metabolic activity was determined by measuring the consumption of glucose. Glucose is the principal nutrient for the skin cells (cf. **Toxic. In Vitro, Vol. 9, No. 3, pages 257 – 266, Elsevier Science Ltd., 1995**).

For both cream formulations, the results show an increase in the Alamarblau reduction rate and the glucose consumption compared with the untreated control (V) on the first two treatment days.

**Table II**

**Vitality test**

| **Formulation:** % Dye reduction per hour | **V Untreated** | **1V** | **3** |
|---|---|---|---|
| First day | 1.64 | 1.95 | 1.92 |
| Second day | 1.93 | 2.10 | 2.17 |
| Glucose consumption (in µg/h) | | | |
| First day | 59.5 | 68.1 | 83.9 |
| Second day | 67.4 | 73.4 | 79.6 |

**3. Testing of the skin-soothing effect using a human skin model (for cream 3 of Table I)**

The three-dimensional skin model described above was used for this test also.

First, irritation of the skin was induced by a synthetic surfactant mixture (Texapon® ASV, 2.5% by weight, 1 hour) which resulted in secretion of the pro-inflammatory mediators interleucine 1 alpha and prostaglandin PGE 2. After the surfactant had been rinsed off, cream formulations were applied to the pieces of skin. A cortisone ointment known for its skin-soothing effect was used for comparison.

In the measurements, three skin cultures were used for each test cream. Quantities of 3 µl of each cream were applied to the filter paper which was then placed with the cream-coated side on the surface of the skin culture and left there for 1 hour. The quantities of mediators released in the skin cultures were determined after 24 and 48 hours (from the beginning of the skin irritation). The so-called ELISA technique (enzyme-linked immunosorbant assay) was used (cf. D. Voet, J.G. Voet: **Biochemie, VCH Verlagsgesellschaft mbH, Weinheim, 1992, pages 74 – 75**).

In the following Table, the quantities of interleucine 1a and prostaglandin are shown in % by weight, based on the quantity of mediators measured on the untreated sample 24 hours after the irritation treatment (100% value).

**Table III**

**Skin-soothing effect**

| **Formulation** | **Untreated** | **Cortisone** | **3** |
|---|---|---|---|
| Prostaglandin [%] | | | |
| After 24 hours | 100 | 39.8 | 120 |
| After 48 hours | 62 | 25.0 | 26.2 |
| Interleucine 1a [%] | | | |
| After 24 hours | 100 | 69.5 | 66.2 |
| After 48 hours | 26.5 | 25.8 | 14.6 |

**CLAIMS**

1. Cosmetic compositions for the care of sensitive skin in the form of an emulsion or cream, characterized in that they contain natural oils, fats or waxes or esters of linear $C_{8-22}$ fatty acids as oil or fatty components, phospholipids, sterols, alkyl (oligo)glycosides or fatty acid esters of sugars, sugar alcohols or polyglycerols or mixtures thereof as emulsifiers and deoxy sugars or natural substances containing such sugars as active ingredients.

2. Cosmetic compositions as claimed in claim 1, characterized in that they contain fucose, rhamnose or a mixture thereof in a quantity of 0.1 to 10% by weight, based on the composition, as the deoxy sugar.

3. Cosmetic compositions as claimed in claim 1 or 2, characterized in that the oil or fatty phase contains no more than 5% by weight of hydrocarbons or silicones.

4. Cosmetic compositions as claimed in any of claims 1 to 3, characterized in that they contain no more than 1% by weight, based on the composition, of sulfate or sulfonate surfactants, ethylene oxide or propylene oxide adducts and quaternary ammonium surfactants.

5. Cosmetic compositions as claimed in any of claims 1 to 4, characterized in that they contain shea butter, almond oil, night light oil, a $C_{8-10}$ fatty acid triglyceride or a mixture thereof in a quantity of 10 to 30% by weight, based on the composition, as the oil or fatty component.

6. Cosmetic compositions as claimed in any of claims 1 to 5, characterized in that the aqueous phase contains a natural or synthetic hydrocolloid in a quantity of 0.01 to 5% by weight, based on the composition.